

10591921

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PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 DEC 01 ChemPort single article sales feature unavailable
NEWS 3 JUN 01 CAS REGISTRY Source of Registration (SR) searching
enhanced on STN
NEWS 4 JUN 26 NUTRACEUT and PHARMAML no longer updated
NEWS 5 JUN 29 IMSCOPROFILE now reloaded monthly
NEWS 6 JUN 29 EPFULL adds Simultaneous Left and Right Truncation
(SLART) to AB, MCLM, and TI fields
NEWS 7 JUL 09 PATDPAFULL adds Simultaneous Left and Right
Truncation (SLART) to AB, CLM, MCLM, and TI fields
NEWS 8 JUL 14 USGENE enhances coverage of patent sequence location
(PSL) data
NEWS 9 JUL 27 CA/CAPLUS enhanced with new citing references
NEWS 10 JUL 16 GBFULL adds patent backfile data to 1855
NEWS 11 JUL 21 USGENE adds bibliographic and sequence information
NEWS 12 JUL 28 EPFULL adds first-page images and applicant-cited
references
NEWS 13 JUL 28 INPADOCDB and INPAFAMDB add Russian legal status data
NEWS EXPRESS MAY 26 09 CURRENT WINDOWS VERSION IS V8.4,
AND CURRENT DISCOVER FILE IS DATED 06 APRIL 2009.
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***** STN Columbus *****

FILE 'HOME' ENTERED AT 15:27:20 ON 07 AUG 2009

=>

Uploading

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THIS COMMAND NOT AVAILABLE IN THE CURRENT FILE

Do you want to switch to the Registry File?

Choice (Y/n):

Switching to the Registry File...

Some commands only work in certain files. For example, the EXPAND command can only be used to look at the index in a file which has an index. Enter "HELP COMMANDS" at an arrow prompt (=>) for a list of commands which can be used in this file.

=> FILE REGISTRY

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.22	0.22

FILE 'REGISTRY' ENTERED AT 15:27:43 ON 07 AUG 2009

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STRUCTURE FILE UPDATES: 6 AUG 2009 HIGHEST RN 1173240-01-1

DICTIONARY FILE UPDATES: 6 AUG 2009 HIGHEST RN 1173240-01-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

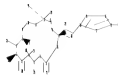
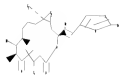
Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10591921.str



```

chain nodes :
17 18 19 20 21 22 23 25 26 27 28 38 39
ring nodes :
1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 29 33 34 35 36 37
chain bonds :
1-17 3-25 4-18 4-19 5-20 6-22 7-21 8-23 12-39 15-26 26-27 26-28 28-34
37-38
ring bonds :
1-2 1-16 2-3 3-4 4-5 5-6 6-7 7-8 8-9 9-10 10-11 11-12 12-13 12-29
13-14 13-29 14-15 15-16 33-34 33-37 34-35 35-36 36-37
exact/norm bonds :
1-2 1-16 1-17 2-3 3-4 3-25 4-5 4-18 4-19 5-6 5-20 6-7 6-22 7-8 7-21
8-9 8-23 9-10 10-11 11-12 12-13 12-29 12-39 13-14 13-29 14-15 15-16
15-26 26-27 26-28 28-34 33-34 33-37 34-35 35-36 36-37 37-38
isolated ring systems :
containing 1 : 33 :

```

G1:H,OH

G2:C,O

G3:H,Ak,CH3,Et,n-Pr

```

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:CLASS 18:CLASS 19:CLASS
20:CLASS 21:CLASS 22:CLASS 23:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS
29:Atom 33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:CLASS 39:CLASS

```

10591921

Stereo Bonds:

22-6 (Single Hash).
23-8 (Single Hash).
26-15 (Single Wedge).

Stereo Chiral Centers:

6 (Parity=Even)
8 (Parity=Odd)
15 (Parity=Odd)

Stereo RSS Sets:

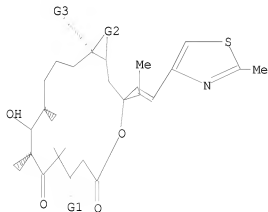
Type=Relative (Default). 3 Nodes= 6 8 15

L1 STRUCTURE UPLOADED

=> d l1

L1 HAS NO ANSWERS

L1 STR



G1 H, OH

G2 C, O

G3 H, Ak, Me, Et, n-Pr

Structure attributes must be viewed using STN Express query preparation.

=> s l1

SAMPLE SEARCH INITIATED 15:28:10 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 43 TO ITERATE

100.0% PROCESSED 43 ITERATIONS

12 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**

10591921

PROJECTED ITERATIONS: 467 TO 1253
PROJECTED ANSWERS: 33 TO 447

L2 12 SEA SSS SAM L1

=> s l1 sss full
FULL SEARCH INITIATED 15:28:18 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 749 TO ITERATE

100.0% PROCESSED 749 ITERATIONS 178 ANSWERS
SEARCH TIME: 00.00.01

L3 178 SEA SSS FUL L1

=> FIL HCAPLUS
COST IN U.S. DOLLARS SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 185.88 186.10

FILE 'HCAPLUS' ENTERED AT 15:28:24 ON 07 AUG 2009
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FILE COVERS 1907 - 7 Aug 2009 VOL 151 ISS 7
FILE LAST UPDATED: 6 Aug 2009 (20090806/ED)
REVISED CLASS FIELDS (/NCL) LAST RELOADED: Jun 2009
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Jun 2009

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the second quarter of 2009.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

The ALL, BIB, MAX, and STD display formats in the CA/CAPLUS family of databases have been updated to include new citing references information. This enhancement may impact record import into database management software. For additional information, refer to NEWS 22.

=> s l3

10591921

L4 789 L3

=> s l4 and p/dt
6789111 P/DT

L5 383 L4 AND P/DT

=> s l5 and us/pc
1963723 US/PC

L6 229 L5 AND US/PC

=> s l6 and py<=2004
25141264 PY<=2004

L7 154 L6 AND PY<=2004

=> s l7 and epothilone
1213 EPOTHILONE
975 EPOTHILONES
1558 EPOTHILONE
(EPOTHILONE OR EPOTHILONES)

L8 151 L7 AND EPOTHILONE

=> d l8 ibib abs hitstr 1-10

L8 ANSWER 1 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2007:203160 HCAPLUS

DOCUMENT NUMBER: 146:267903

TITLE: PSMA-binding aptamers and conjugates of PSMA-binding
aptamers for disease treatment

INVENTOR(S): Diener, John L.; Hatala, Paul; Wagner-Whyte, Jess;
Wilson, Charles

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 113pp., Cont.-in-part of U.S.
Ser. No. 826,077.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

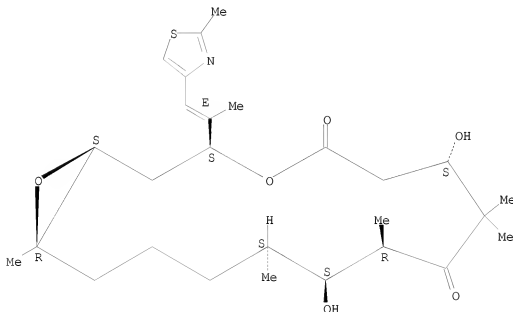
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070041901	A1	20070222	US 2006-370491	20060307 <--
US 20040022727	A1	20040205	US 2003-600007	20030618 <--
US 20040249130	A1	20041209	US 2004-826077	20040415 <--
PRIORITY APPLN. INFO.:			US 2002-390042P	P 20020618
			US 2003-600007	A2 20030618
			US 2004-826077	A2 20040415
			US 2005-660514P	P 20050307
			US 2005-670518P	P 20050411

AB The present invention provides stabilized, high affinity nucleic acid ligands to PSMA as well as conjugates of these aptamers with various moieties, esp, drugs or cytotoxic compds. or protein toxins. Thus, the identification and preparation of novel, stable, high affinity ligands to PSMA using the SELEX method with 2'-O-Me substituted nucleic acids, and cell surface SELEX are described. Two aptamer-vinblastine conjugates were tested in an in vitro cell proliferation assay. These conjugates killed LNCaP cells at 10-500 nM.

IT 152044-54-7D, Epothilone B, aptamer conjugates
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (PSMA-binding aptamers and conjugates of PSMA-binding aptamers for
 disease treatment)
 RN 152044-54-7 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.

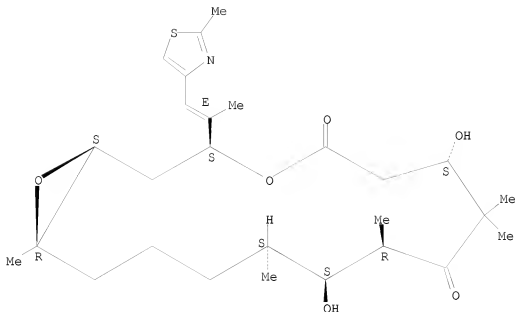


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
 (3 CITINGS)

L8 ANSWER 2 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:1005828 HCAPLUS
 DOCUMENT NUMBER: 143:292590
 TITLE: Method of producing cationic liposomes comprising a
 lipophilic compound
 INVENTOR(S): Mundus, Carsten; Welz, Christain; Schramel, Oliver;
 Haas, Heinrich; Fichert, Thomas; Schulze, Brita;
 Peymann, Toralf; Michaelis, Uwe; Teifel, Michael;
 Gruber, Friedrich; Winter, Gerhard
 PATENT ASSIGNEE(S): Medigene Oncology G.m.b.H., Germany
 SOURCE: U.S. Pat. Appl. Publ., 30 pp., Cont.-in-part of Appl.
 No. PCT/EP03/06759.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 6
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050202076	A1	20050915	US 2004-18574	20041222 <--
EP 1374864	A1	20040102	EP 2002-18724	20020821 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
WO 2004002468	A1	20040108	WO 2003-EP6759	20030626 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
PRIORITY APPLN. INFO.:				
			US 2002-391245P	P 20020626
			US 2002-391246P	P 20020626
			EP 2002-18724	A 20020821
			EP 2003-4744	A 20030304
			WO 2003-EP6759	A2 20030626
AB	A method for producing a cationic liposome comprising a lipophilic active compound with phys. and chemical stability during manufacturing, storing and reconstituting, and further a cationic liposome obtainable by this method as well as pharmaceutical compns. are disclosed. Thus, liposomes contained paclitaxel 3, DOTAP-Cl 50, DOPC 47, trehalose-dihydrate 108.2, and EtOH 1.33 mol%.			
IT	152044-54-7, Epothilone B RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (method of producing cationic liposomes comprising a lipophilic compound)			
RN	152044-54-7 HCAPLUS			
CN	4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione, 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)			

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



L8 ANSWER 3 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:641841 HCAPLUS

DOCUMENT NUMBER: 143:159436

TITLE: Aptamers binding to platelet-derived growth factor and their use in treatment of neoplasms dependent on the growth factor

INVENTOR(S): Grate, Dilara; Diener, John L.; Wilson, Charles; McCauley, Thomas Greene

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 104 pp., Cont.-in-part of U.S. Ser. No. 873,853.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050159351	A1	20050721	US 2004-980211	20041102 <--
US 20040180360	A1	20040916	US 2003-718833	20031121 <--
US 20040253243	A1	20041216	US 2004-762915	20040121 <--
US 20040249130	A1	20041209	US 2004-826077	20040415 <--
US 20040253679	A1	20041216	US 2004-829504	20040421 <--
US 20050124565	A1	20050609	US 2004-873853	20040621 <--
WO 2005052121	A2	20050609	WO 2004-US39137	20041122
WO 2005052121	A3	20060608		

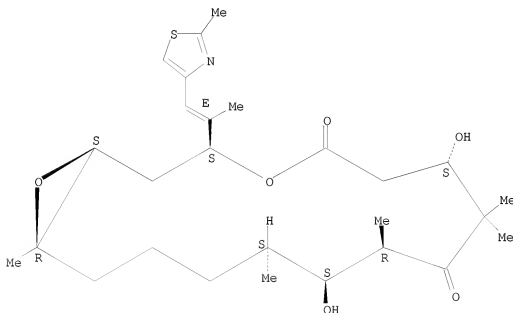
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	NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW, US		
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WO 2006050498	A2	20060511	WO 2005-US39975 20051102
WO 2006050498	A3	20070405	
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EP 1807107	A2	20070718	EP 2005-824135 20051102
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US 20070009476	A1	20070111	US 2006-482671 20060706 <--
US 20090053138	A1	20090226	US 2008-666954 20080505 <--
PRIORITY APPLN. INFO.:			
			US 2002-428102P P 20021121
			US 2003-441357P P 20030121
			US 2003-463095P P 20030415
			US 2003-464179P P 20030421
			US 2003-464239P P 20030421
			US 2003-465053P P 20030423
			US 2003-465055P P 20030423
			US 2003-469628P P 20030508
			US 2003-474133P P 20030529
			US 2003-474680P P 20030529
			US 2003-486580P P 20030711
			US 2003-489810P P 20030723
			US 2003-491019P P 20030729
			US 2003-503596P P 20030916
			US 2003-512071P P 20031017
			US 2003-523935P P 20031121
			US 2003-718833 A2 20031121
			US 2004-537045P P 20040116
			US 2004-537201P P 20040116
			US 2004-762915 A2 20040121
			US 2004-826077 A2 20040415
			US 2004-829504 A2 20040421
			US 2004-873853 A2 20040621
			US 2002-390042P P 20020618
			US 2003-600007 A2 20030618
			US 2004-980211 A2 20041102
			US 2004-632358P P 20041130
			US 2004-632609P P 20041201
			US 2005-652496P P 20050210
			US 2005-652494P P 20050211

US 2005-667866P P 20050401
 US 2005-672200P P 20050415
 WO 2005-US39975 W 20051102

- AB Therapeutically useful aptamer ligands for platelet-derived growth factor (PDGF) and its isoforms, PDGF receptors, vascular endothelial growth factor (VEGF), and VEGF receptor are described for use in cancer therapy. The aptamers may bind one or more of these proteins. These aptamers are particularly useful in solid tumor therapy and can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. Also disclosed are aptamers having one or more CpG motifs for use as adjuvants. These aptamers were selected by SELEX. These oligonucleotides inhibited the proliferation of 3T3 cells in culture at concns. of 3 nM to >1 μ M. They also inhibited PDGF-dependent chemotaxis of 3T3 cells. In a Lewis lung carcinoma model, aptamers were effective in inhibiting proliferation of implants in mice. The composition, when combined with irinotecan, improved the efficacy of the irinotecan in colon cancer xenograft models.
- IT 152044-54-7, Epothilone B
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (cancer chemotherapy with aptamers and; aptamers binding to
 platelet-derived growth factor and their use in treatment of neoplasms
 dependent on PDGF)
- RN 152044-54-7 HCAPLUS
- CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



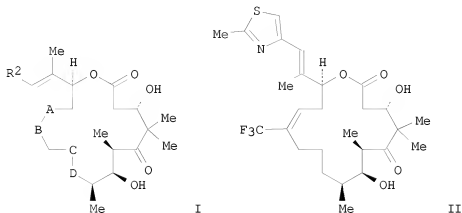
L8 ANSWER 4 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:572598 HCAPLUS

DOCUMENT NUMBER: 143:97209
 TITLE: Synthesis of epothilones for use in pharmaceutical compositions as antitumor agents
 INVENTOR(S): Danishefsky, Samuel J.; Rivkin, Alexey; Yoshimura, Fumihiko; Chou, Ting-Chao; Gabarda, Ana E.; Dong, Huajin; Wu, Kaida; Moore, Malcolm A. S.; Dorn, David
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 274 pp., Cont.-in-part of U.S. Ser. No. 435,408.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 3
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050143429	A1	20050630	US 2004-921109	20040818 <--
US 7384964	B2	20080610		
US 20040053995	A1	20040318	US 2003-402004	20030328 <--
US 6921769	B2	20050726		
US 20040053910	A1	20040318	US 2003-435408	20030509 <--
AU 2005218308	A1	20050915	AU 2005-218308	20050228
CA 2556692	A1	20050915	CA 2005-2556692	20050228
WO 2005084222	A2	20050915	WO 2005-US6051	20050228
WO 2005084222	A3	20051124		
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EP 1722791	A2	20061122	EP 2005-723768	20050228
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CN 1976699	A	20070606	CN 2005-80013480	20050228
BR 2005008232	A	20070717	BR 2005-8232	20050228
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ZA 2005002337	A	20060927	ZA 2005-2337	20050318
MX 2006009792	A	20061116	MX 2006-9792	20060828
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US 20090149516	A1	20090611	US 2008-135823	20080609 <--
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US 2002-405823P				P 20020823
US 2002-408589P				P 20020906
US 2002-423129P				P 20021101
US 2003-456159P				P 20030320
US 2003-402004				A2 20030328
US 2003-435408				A2 20030509
US 2003-496741P				P 20030821
US 2004-548402P				P 20040227
US 2004-921109				A 20040818
WO 2005-US6051				W 20050228

OTHER SOURCE(S):
GI

CASREACT 143:97209; MARPAT 143:97209



AB Epothilone analogs, such as I [-A-B-, -C-D- = -C.tplbond.C-, -CH(R)CH(R1)-, -C(R):C(R1)-; R, R1 = H, alkyl, halogen, alkoxy, acyl, etc.; -A-B- = fused oxirane ring; -C-D- = fused cyclopropane or fused aziridine ring; R2 = aryl, heteroaryl, arylalkyl, heteroarylalkyl] are prepared as antitumor agents. The present invention also provides pharmaceutical compns. comprising compds. of formula I and provides methods of treating cancer comprising administering a compound of formula I. Thus, II was prepared via an intramol. methathesis macrocyclization synthetic sequence and showed good cell growth inhibition against various drug-resistant tumors.

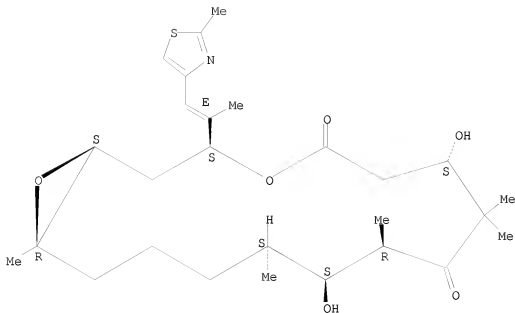
IT 152044-54-7P 190370-13-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(synthesis of epothilone derivs. for use in pharmaceutical compns. as antitumor agents)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

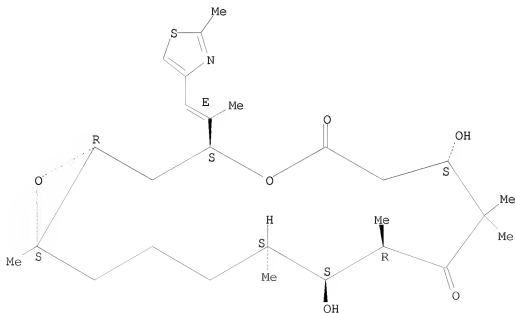


RN 190370-13-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1R,3S,7S,10R,11S,12S,16S)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 5 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2005:497473 HCAPLUS
 DOCUMENT NUMBER: 143:65317
 TITLE: Aptamers binding to PDGF, VEGF, or other targets and their use as oncology therapeutics
 INVENTOR(S): Diener, John L.; Epstein, David; Ferguson, Alicia; Grate, Dilara; Keefe, Anthony Dominic; McCauley, Thomas Greene; Preiss, Jeffrey R.; Stanton, Martin; Wilson, Charles
 PATENT ASSIGNEE(S): USA
 SOURCE: U.S. Pat. Appl. Publ., 101 pp., Cont.-in-part of U.S. Ser. No. 829,504.
 CODEN: USXXCO
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 12
 PATENT INFORMATION:

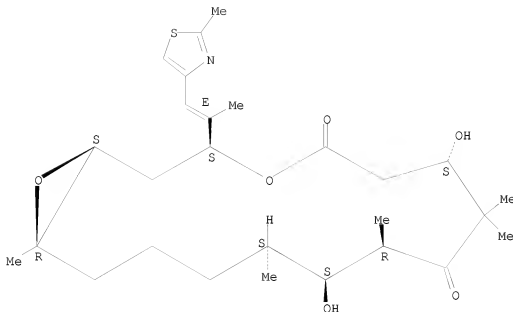
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20050124565	A1	20050609	US 2004-873853	20040621 <--
US 20040180360	A1	20040916	US 2003-718833	20031121 <--
US 20040253243	A1	20041216	US 2004-762915	20040121 <--
US 20040249130	A1	20041209	US 2004-826077	20040415 <--
US 20040253679	A1	20041216	US 2004-829504	20040421 <--
US 20050159351	A1	20050721	US 2004-980211	20041102 <--
WO 2005052121	A2	20050609	WO 2004-US39137	20041122
WO 2005052121	A3	20060608		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
US 20070009476	A1	20070111	US 2006-482671	20060706 <--
US 20090053138	A1	20090226	US 2008-666954	20080505 <--
PRIORITY APPLN. INFO.:			US 2002-428102P	P 20021121
			US 2003-441357P	P 20030121
			US 2003-463095P	P 20030415
			US 2003-464179P	P 20030421
			US 2003-464239P	P 20030421
			US 2003-465053P	P 20030423
			US 2003-465055P	P 20030423
			US 2003-469628P	P 20030508
			US 2003-474133P	P 20030529
			US 2003-474680P	P 20030529
			US 2003-486580P	P 20030711
			US 2003-489810P	P 20030723
			US 2003-491019P	P 20030729

US	2003-503596P	P	20030916
US	2003-512071P	P	20031017
US	2003-523935P	P	20031121
US	2003-718833	A2	20031121
US	2004-537045P	P	20040116
US	2004-537201P	P	20040116
US	2004-762915	A2	20040121
US	2004-826077	A2	20040415
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US	2002-390042P	P	20020618
US	2003-600007	A2	20030618
US	2004-873853	A2	20040621
US	2004-980211	A2	20041102
US	2004-632358P	P	20041130
US	2004-632609P	P	20041201
US	2005-652496P	P	20050210
US	2005-652494P	P	20050211
US	2005-667866P	P	20050401
US	2005-672200P	P	20050415
WO	2005-US39975	W	20051102

- AB Materials and methods are provided for producing and using aptamers useful as oncol. therapeutics capable of binding to PDGF, PDGF isoforms, PDGF receptor, and VEGF or any combination thereof with great affinity and specificity. The compns. of the present invention are particularly useful in solid tumor therapy and can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. Also disclosed are aptamers having one or more CpG motifs embedded therein or appended thereto. Thus, a composition comprising three PDGF-binding aptamers connected via hexaethylene glycol bridges and conjugated to PEG at the 5'-terminus was prepared. This composition exhibited superior pharmacokinetics to one not conjugated to PEG. The composition, when combined with irinotecan, improved the efficacy of the irinotecan in colon cancer xenograft models.
- IT 152044-54-7, Epothilone B
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (aptamers and; aptamers binding to PDGF, VEGF, or other targets and their use as oncol. therapeutics)
- RN 152044-54-7 HCAPLUS
- CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.



L8 ANSWER 6 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1080882 HCAPLUS

DOCUMENT NUMBER: 142:38062

TITLE: Preparation of protected
5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid ester
derivatives and intermediates thereof for synthesizing
epothilones and derivatives

INVENTOR(S): Westermann, Juergen; Platzek, Johannes; Petrov, Orlin

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 33 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

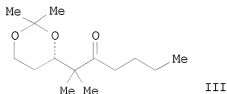
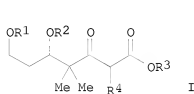
LANGUAGE: German

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004:108697	A1	20041216	WO 2004-EP6057	20040605 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10326195	A1	20041223	DE 2003-10326195	20030607 <--

EP 1631563 A1 20060308 EP 2004-739609 20040605
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 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 JP 2006527180 T 20061130 JP 2006-508280 20040605
 US 20080015366 A1 20080117 US 2007-559389 20070316 <--
 PRIORITY APPLN. INFO.: DE 2003-10326195 A 20030607
 WO 2004-EP6057 W 20040605
 OTHER SOURCE(S): MARPAT 142:38062
 GI



- AB The present invention discloses methods for preparation of novel protected 5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid ester derivs., such as I [R1, R2 = hydroxyl protecting group; R1R2 = isopropylidene; R3 = alkyl; R4 = allyl, alkenyl, alkynyl, alkoxyalkyl, alkoxyalkenyl, alkoxyalkynyl, arylalkyl, etc.], and intermediates thereof for the synthesis of epothilones and epothilone derivs. Thus, 3-[(4S)-2,2-dimethyl-1,3-dioxan-4-yl]-3-methyl-butan-2-one, [obtained by the reaction of 3(S)-(3,5)-acetonedimethylketal-2,2-dimethyl-pentan-nitrile and methyl lithium-lithiumbromide-complex], was treated with diallylcarbonate to afford (4S)-2,2-dimethyl-[1,3]-dioxan-4-yl-4-methyl-3-oxo-pentanoic acid allyl ester (II). II was reacted with terakistriphenylphosphinepalladium to provide (4S)-4-(2-methyl-3-oxo-hept-6-ene-2-yl)-2,2-dimethyl-[1,3]-dioxane, which was hydrogenated in presence of palladium-carbon to afford (4S)-4-(2-methyl-3-oxo-heptane-2-yl)-2,2-dimethyl-[1,3]-dioxane (III).
- IT 152044-53-6DP, Epothilone A, derivs.
 RL: PNU (Preparation, unclassified); PREP (Preparation)
 (preparation of protected 5,7-dihydroxy-4,4-dimethyl-3-oxoheptanoic acid esters and intermediates thereof for synthesizing epothilones and derivs.)
- RN 152044-53-6 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.

US	2003-464179P	P	20030421
US	2003-464239P	P	20030421
US	2003-465053P	P	20030423
US	2003-465055P	P	20030423
US	2003-469628P	P	20030508
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US	2003-489810P	P	20030723
US	2003-491019P	P	20030729
US	2003-503596P	P	20030916
US	2003-512071P	P	20031017
US	2003-718833	A2	20031121
US	2004-537045P	P	20040116
US	2004-537201P	P	20040116
US	2004-762915	A2	20040121
US	2003-523935P	P	20031121
US	2004-826077	A2	20040415
US	2004-829504	A2	20040421
US	2004-873853	A2	20040621
US	2004-980211	A2	20041102
US	2004-632358P	P	20041130
US	2004-632609P	P	20041201
US	2005-652496P	P	20050210
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US	2005-667866P	P	20050401
US	2005-672200P	P	20050415
WO	2005-US39975	W	20051102

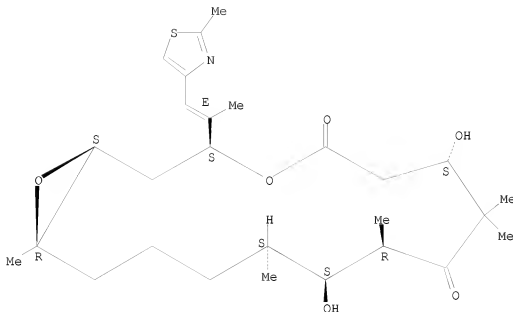
AB Aptamers that bind specifically to platelet-derived growth factor, vascular endothelial growth factor, their receptors and isoforms of the growth factors are described for use in the treatment of solid tumors dependent on these growth factors. They can be used alone or in combination with known cytotoxic agents for the treatment of solid tumors. The aptamers are modified, e.g. by using modified backbones or conjugation with polyethylene glycol, to improve in vivo stability. Aptamers with one or more immunostimulant CpG motifs are also described. Bivalent aptamers binding one of these targets and another growth- or apoptosis-regulating are also described.

IT 152044-54-7, Epothilone B
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (cancer therapy with aptamers and; stabilized aptamers to growth factors and their receptors for use in treatment of solid tumors)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L8 ANSWER 8 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1060832 HCAPLUS

DOCUMENT NUMBER: 142:43740

TITLE: Aptamer-toxin molecules and methods for using same

INVENTOR(S): Stanton, Martin; Kurz, Markus; Wilson, Charles

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 41 pp., Cont.-in-part of U.S.

Ser. No. 600,007.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 12

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040249130	A1	20041209	US 2004-826077	20040415 <--
US 20040022727	A1	20040205	US 2003-600007	20030618 <--
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EP 1620547 A2 20060201 EP 2004-760163 20040421
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JP 2007525177 T 20070906 JP 2006-513287 20040421
 US 20050124565 A1 20050609 US 2004-873853 20040621 <--
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RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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 MR, NE, SN, TD, TG

EP 1756318 A2 20070228 EP 2005-778410 20050415
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US 20070041901 A1 20070222 US 2006-370491 20060307 <--
 US 20090053138 A1 20090226 US 2008-666954 20080505 <--
 PRIORITY APPLN. INFO.:

US 2002-390042P P 20020618
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 US 2003-491019P P 20030729
 US 2003-503596P P 20030916
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 US 2003-523935P P 20031121
 US 2003-718833 A 20031121
 US 2004-537045P P 20040116
 US 2004-537201P P 20040116
 US 2004-762915 A 20040121
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 US 2004-829504 A2 20040421
 WO 2004-US12670 W 20040421
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US 2005-652496P	P	20050210
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WO 2005-US39975	W	20051102

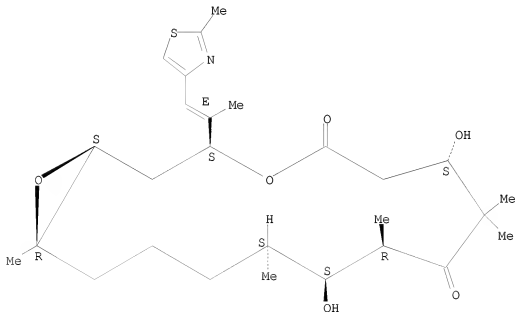
AB Materials and methods are provided to prepare therapeutic conjugates for the treatment of proliferative diseases. The therapeutic conjugates of the invention comprise a targeting moiety conjugated to a therapeutic moiety. The therapeutic moiety of the conjugates of the present invention have a cytotoxic effect and are useful in the treatment of proliferative diseases.

IT 152044-54-7D, Epothilone B, aptamer conjugates
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (aptamer-toxin conjugates for targeted treatment of proliferative diseases)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



L8 ANSWER 9 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:1036893 HCAPLUS

DOCUMENT NUMBER: 142:697

TITLE: Combination of histone deacetylase inhibitors with

INVENTOR(S): chemotherapeutic agents
 Atadja, Peter Wisdom; Remiszewski, Stacy William;
 Trogani, Nancy
 PATENT ASSIGNEE(S): Novartis A.-G., Switz.; Novartis Pharma G.m.b.H.
 SOURCE: PCT Int. Appl., 43 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004103358	A2	20041202	WO 2004-EP5433	20040519 <--
WO 2004103358	A3	20050217		
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RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2004241729	A1	20041202	AU 2004-241729	20040519 <--
CA 2526908	A1	20041202	CA 2004-2526908	20040519 <--
EP 1628651	A2	20060301	EP 2004-733798	20040519
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK			
CN 1791396	A	20060621	CN 2004-80013238	20040519
BR 2004010648	A	20060704	BR 2004-10648	20040519
JP 2006528952	T	20061228	JP 2006-529883	20040519
MX 2005012464	A	20060130	MX 2005-12464	20051118
IN 2005CN03082	A	20070831	IN 2005-CN3082	20051121
US 20070123580	A1	20070531	US 2007-557162	20070109 <--
PRIORITY APPLN. INFO.:			US 2003-472161P	P 20030521
			WO 2004-EP5433	W 20040519

OTHER SOURCE(S): MARPAT 142:697

AB The invention relates to a combination which comprises (a) one or more chemotherapeutic agents and (b) a histone deacetylase inhibitor ('HDAI') for simultaneous, concurrent, sep. or sequential use, especially for use in the treatment of proliferative diseases including pre-malignant lesions (e.g. colon polyps) and malignancies, both solid and undifferentiated or other proliferative diseases in a mammal, particularly a human. The invention also relates to pharmaceutical compns. comprising such a combination and to a method of preventing or treating proliferative diseases including pre-malignant lesions (e.g. colon polyps) and malignancies, both solid and undifferentiated or other proliferative diseases, in a mammal, particularly a human, with such a combination. The present invention further also relates to a com. package or product comprising such a combination.

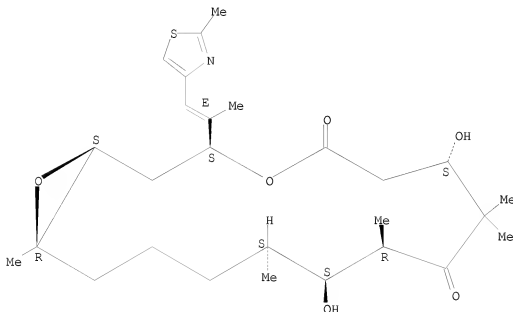
IT 152044-54-7, Epothilone B

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(combination of histone deacetylase inhibitors with chemotherapeutic

agents)
 RN 152044-54-7 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



OS.CITING REF COUNT: 4 THERE ARE 4 CAPLUS RECORDS THAT CITE THIS RECORD
 (4 CITINGS)
 REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

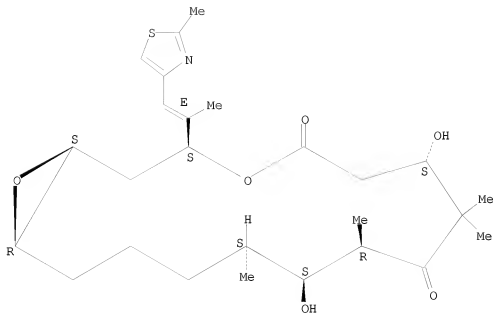
L8 ANSWER 10 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2004:960045 HCAPLUS
 DOCUMENT NUMBER: 141:384396
 TITLE: Bone-localizing radiopharmaceutical and
 tubulin-interacting compound combinatorial
 radiotherapy
 INVENTOR(S): Braendle, Edgar; Hausman, Diana
 PATENT ASSIGNEE(S): Schering Ag, Germany
 SOURCE: Eur. Pat. Appl., 25 pp.
 CODEN: EPXXDW
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1475105	A1	20041110	EP 2003-11721	20030523 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK
 WO 2004098651 A2 20041118 WO 2004-EP4434 20040427 <--
 WO 2004098651 A3 20050407
 W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
 CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
 GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
 LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
 NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
 SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
 SN, TD, TG
 EP 1622650 A2 20060208 EP 2004-739109 20040427
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK
 JP 2006525965 T 20061116 JP 2006-505288 20040427
 US 20070092440 A1 20070426 US 2006-556163 20061121 <--
 PRIORITY APPLN. INFO.: US 2003-469007P P 20030509
 EP 2003-11721 A 20030523
 WO 2004-EP4434 W 20040427
 AB The present invention relates to a method for the improved treatment of a
 cancerous disease in a patient and/or for the palliation of pain associated
 with cancer diseases, comprising the administration of a tubulin
 interacting compound in combination with a bone-localizing
 radiopharmaceutical to the patient in an effective amount that will not
 cause any substantial ablation of the bone marrow. In particular, the
 cancerous disease is selected from the group of cancer diseases,
 comprising multiple myeloma, leukemia, lymphoma, breast cancer, prostate
 cancer, gynecol. cancer, gastric cancer ovarian cancer, lung cancer and/or
 renal cell carcinoma. In a preferred embodiment, the bone-localizing
 radiopharmaceutical is samarium Sm 153 leixidronam (Quadramet) and the
 tubulin-interacting compound is docetaxel.
 IT 152044-53-6, Epothilone A 152044-54-7,
 Epothilone B
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (cancer radiotherapy with combination of bone-localizing
 radiopharmaceutical and tubulin-interacting compound)
 RN 152044-53-6 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

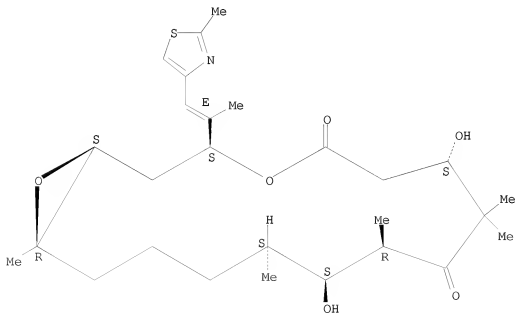


RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

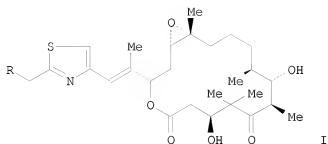


OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d l8 ibib abs hitstr 40-50

L8 ANSWER 40 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:931114 HCAPLUS
DOCUMENT NUMBER: 139:395751
TITLE: Preparation of C-21 modified epothilone
derivatives for use in pharmaceutical compositions for
the treatment of cancer
INVENTOR(S): Lee, Francis Y. F.; Haby, Thomas A.; Naringrekar,
Vijay H.; Raghavan, Krishnaswamy S.; Franchini, Miriam
K.
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003096975	A2	20031127	WO 2003-US15097	20030513 <--
WO 2003096975	A3	20031224		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2003234545	A1	20031202	AU 2003-234545	20030513 <--
US 20040053978	A1	20040318	US 2003-437103	20030513 <--
US 7053069	B2	20060530		
EP 1505969	A2	20050216	EP 2003-728885	20030513
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
PRIORITY APPLN. INFO.:			US 2002-380634P	P 20020515
			WO 2003-US15097	W 20030513
OTHER SOURCE(S):	MARPAT 139:395751			
GI				



AB C-21 modified epothilones, such as I [R = NH₂, OH, SH, alkylamino, alkoxy, alkylthio, etc.], were prepared for therapeutic use as antitumor agents. Thus, 21-aminoepothilone B I (R = NH₂) was prepared by reaction of epothilone F I (R = OH) with diphenylphosphoryl azide in THF under argon to give 21-azidoepothilone B I (R = N₃) in 91% yield and subsequent hydrogenation of the azide using Lindlar catalyst in EtOH and an H₂ atmosphere to give the target amine in 81% yield. The compns. are stable and readily prepared for administration by dissoln. in aqueous vehicles suitable for i.v. administration. A process for formulating C-21 modified epothilone derivs. for oral and parenteral administration was disclosed.

IT 152044-54-7, Epothilone B

RL: RCT (Reactant); RACT (Reactant or reagent)

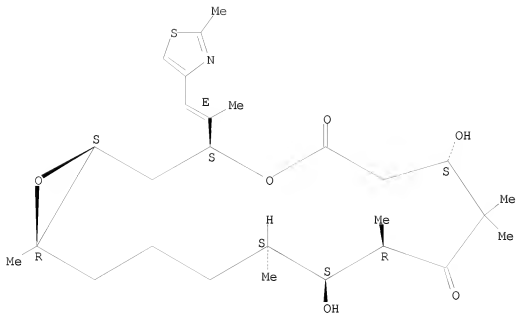
(preparation of C-21 modified epothilone derivs. for use in pharmaceutical compns. for treatment of cancer)

RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

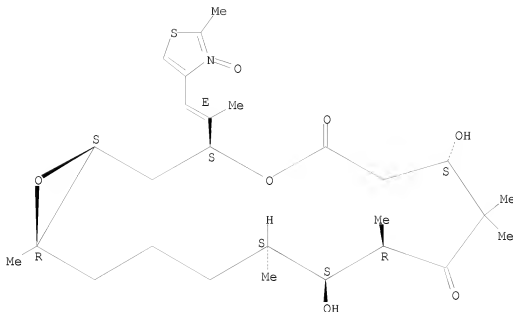
Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.



IT 219990-27-9P, Epothilone B N-oxide
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of C-21 modified epothilone derivs. for use in
 pharmaceutical compns. for treatment of cancer)
 RN 219990-27-9 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-3-
 oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 41 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:913055 HCAPLUS

DOCUMENT NUMBER: 139:399770

TITLE: Medical goods comprising heparin or chitosan-based
hemocompatible coating

INVENTOR(S): Horres, Roland; Linssen, Marita Katharina; Hoffmann,
Michael; Faust, Volker; Hoffmann, Erika; Di Biase,
Donato

PATENT ASSIGNEE(S): Hemoteg G.m.b.H., Germany

SOURCE: PCT Int. Appl., 93 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003094990	A1	20031120	WO 2003-DE1253	20030415 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
R:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,			

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DE 10221055	A1 20031127	DE 2002-10221055 20020510 <--
DE 10221055	B4 20071025	
DE 10261986	A1 20040318	DE 2002-10261986 20020510 <--
DE 10261986	B4 20080131	
AU 2003240391	A1 20031111	AU 2003-240391 20030415 <--
AU 2003240391	B2 20070517	
CA 2484269	A1 20031120	CA 2003-2484269 20030415 <--
CN 1543362	A 20041103	CN 2003-800770 20030415 <--
CN 1318103	C 20070530	
EP 1501565	A1 20050202	EP 2003-729829 20030415
EP 1501565	B1 20061102	
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BR 2003011446	A 20050315	BR 2003-11446 20030415
CN 1665554	A 20050907	CN 2003-815926 20030415
JP 2005534724	T 20051117	JP 2004-503070 20030415
AT 344064	T 20061115	AT 2003-729829 20030415
ES 2276065	T3 20070616	ES 2003-729829 20030415
NZ 536331	A 20070831	NZ 2003-536331 20030415
AT 404232	T 20080815	AT 2003-749833 20030415
ES 2321082	T3 20090602	ES 2003-749833 20030415
IN 2004MN00606	A 20050218	IN 2004-MN606 20041028
ZA 2004008791	A 20050527	ZA 2004-8791 20041028
ZA 2004008757	A 20050531	ZA 2004-8757 20041028
US 20050176678	A1 20050811	US 2004-513982 20041108 <--
KR 859995	B1 20080925	KR 2004-718023 20041108
MX 2004011112	A 20050714	MX 2004-11112 20041109
IN 2005MN01451	A 20070706	IN 2005-MN1451 20051230
PRIORITY APPLN. INFO.:		US 2002-378676P P 20020509
		DE 2002-10221055 A 20020510
		WO 2003-DE1253 W 20030415
		IN 2004-MN606 A3 20041028

AB The invention relates to oligo- and polysaccharides containing the sugar structural element N-acetylglucosamine or N-acetylgalactosamine, in addition to the use thereof for producing hemocompatible surfaces and to methods for coating surfaces in a hemocompatible manner with said oligo- and polysaccharides, which constitute the common biosynthetic precursor substances of heparin, heparan sulfates and chitosan. The invention also relates to methods for producing the oligo- and/or polysaccharides, in addition to diverse application options involving hemocompatible surfaces. The invention specifically relates to the use of the oligo- and/or polysaccharides on stents involving at least one hemocompatible coating that has been applied according to the invention and that contains an anti-proliferative, anti-inflammatory and/or atherobogenic active ingredient, to methods for producing said stents and to the use of the latter for preventing restenosis. Thus desulfated and reacylated heparin was prepared; the Ac-heparin product was used for coating coronary metal stents. The stents were implanted in swines; after four weeks the animals were anesthetized and the artery segments removed for histomorphometric anal.

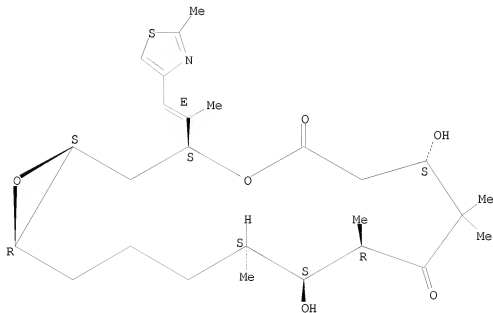
IT 152044-53-6, Epothilone A 152044-54-7, Epothilone B
 RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
 (medical goods comprising a heparin-based hemocompatible coating)

RN 152044-53-6 HCAPLUS

10591921

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

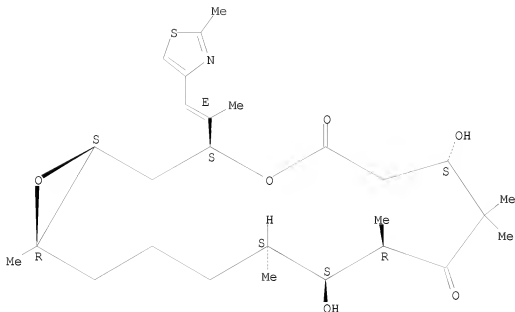
Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.



OS.CITING REF COUNT: 6 THERE ARE 6 CAPLUS RECORDS THAT CITE THIS RECORD
(6 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 42 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:892609 HCAPLUS

DOCUMENT NUMBER: 139:358748

TITLE: Epothilone derivatives for the treatment of
hepatoma and other cancers

INVENTOR(S): Rothermel, John David

PATENT ASSIGNEE(S): Novartis A-G., Switz.; Novartis Pharma G.m.b.H.

SOURCE: PCT Int. Appl., 26 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

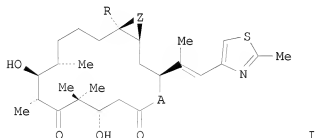
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003092683	A1	20031113	WO 2003-EP4581	20030430 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SE, SG, SK, TJ, TM, TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW			
RW:	AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR			
CA 2483826	A1	20031113	CA 2003-2483826	20030430 <--
AU 2003227702	A1	20031117	AU 2003-227702	20030430 <--

AU 2003227702	B2	20070726		
BR 2003009711	A	20050209	BR 2003-9711	20030430
EP 1503756	A1	20050209	EP 2003-725129	20030430
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
CN 1649585	A	20050803	CN 2003-809770	20030430
JP 2005528414	T	20050922	JP 2004-500867	20030430
NZ 536178	A	20071026	NZ 2003-536178	20030430
RU 2358730	C2	20090620	RU 2004-135307	20030430
ZA 2004008492	A	20060927	ZA 2004-8492	20041020
IN 2004CN02466	A	20070831	IN 2004-CN2466	20041029
MX 2004010853	A	20050214	MX 2004-10853	20041101
NO 2004005249	A	20050126	NO 2004-5249	20041130
US 20050282873	A1	20051222	US 2005-512504	20050711 <--
IN 2007CN05291	A	20080627	IN 2007-CN5291	20071121
US 20080161369	A1	20080703	US 2008-46017	20080311 <--
PRIORITY APPLN. INFO.:			US 2002-377063P	P 20020501
			WO 2003-EP4581	W 20030430
			IN 2004-CN2466	A3 20041029
			US 2005-512504	A3 20050711

OTHER SOURCE(S): MARPAT 139:358748
GI



I

AB The invention provides a method for treating a warm-blooded animal, especially
a

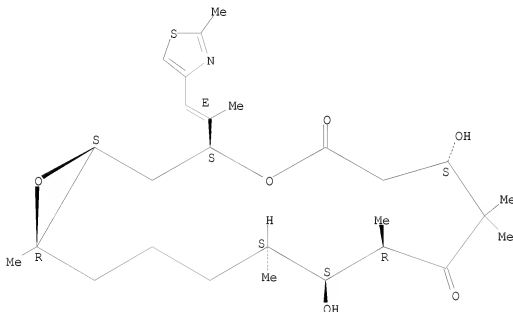
human, having a cancer selected from hepatoma; primary Fallopian tube cancer; primary peritoneal cancer; breast cancer progressing after treatment with hormonal agents or radiotherapy; renal cell carcinoma progressing after treatment with a cytokine, radiotherapy, and/or nephrectomy; melanoma progressing after radiotherapy; prostate cancer progressing after orchiectomy, ovarian cancer progressing after treatment with a platinum compound or radiotherapy; and colorectal cancer progressing after radiotherapy and/or treatment with oxaliplatin or irinotecan; and metastasis thereof, comprising administering to the animal a therapeutically effective amount of an epothilone derivative I [A = O, NRN (RN = H, lower alkyl); R = H, lower alkyl; Z = O, bond], or a pharmaceutically acceptable salt thereof.

IT 152044-54-7

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(epothilone derivs. for treatment of hepatoma and other

cancers)
 RN 152044-54-7 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 43 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
 ACCESSION NUMBER: 2003:757689 HCAPLUS
 DOCUMENT NUMBER: 139:276755
 TITLE: Preparation of epothilone derivatives for
 therapeutic use as anticancer agents
 INVENTOR(S): Regueiro-Ren, Alicia; Kim, Soong-Hoon
 PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
 SOURCE: PCT Int. Appl., 47 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003078411	A1	20030925	WO 2003-US7584	20030311 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,				

GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

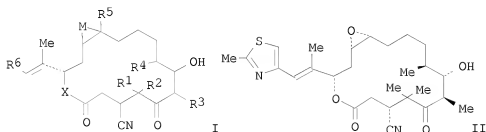
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003218110 A1 20030929 AU 2003-218110 20030311 <--
 US 20030191089 A1 20031009 US 2003-386072 20030311 <--
 US 6719540 B2 20040413
 EP 1483251 A1 20041208 EP 2003-714096 20030311 <--
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

PRIORITY APPLN. INFO.: US 2002-363441P P 20020312
 WO 2003-US7584 W 20030311

OTHER SOURCE(S): MARPAT 139:276755

GI



AB Epothilone derivs., such as I [M = bond, O, NR9, CR10R11; X = O, NH; R1-R4 = H, alkyl; R5 = H, alkyl, cyano; R6 = H, alkyl, aryl, heterocyclyl; R9-R11 = H, OH, alkyl, alkoxy, aryl, cycloalkyl, heterocyclyl], pharmaceutically acceptable salts, solvates or hydrate thereof, were prepared for use as antitumor agents. Thus, epothilone derivative II was prepared from 2,3-dehydro epothilone A, via silylation of hydroxyl group, potassium cyanide addition, followed by deprotection. The prepared epothilone derivs. were assayed in vitro for their effect on tubulin polymerization and for cytotoxicity against HCT-116 human colon carcinoma cells. Therapeutic compns. containing I or in combination with other therapeutic agents useful in the treatment of cancer or other proliferative diseases are also claimed.

IT 476623-89-9P 476623-90-2P 476623-91-3P
 476623-92-4P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

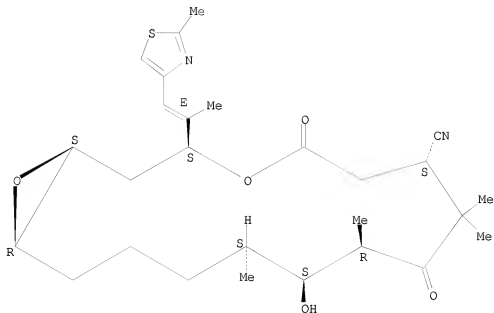
(preparation of epothilone derivs. for therapeutic use as anticancer agents)

RN 476623-89-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile, 11-hydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

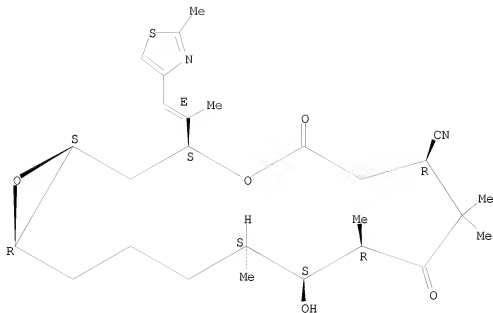
10591921

Absolute stereochemistry.
Double bond geometry as shown.



RN 476623-90-2 HCAPLUS
CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile,
11-hydroxy-8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX
NAME)

Absolute stereochemistry.
Double bond geometry as shown.

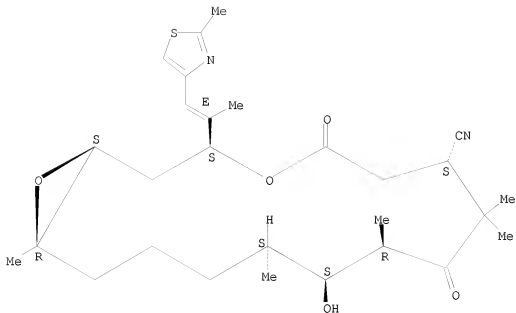


RN 476623-91-3 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile,
11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX
NAME)

Absolute stereochemistry.

Double bond geometry as shown.

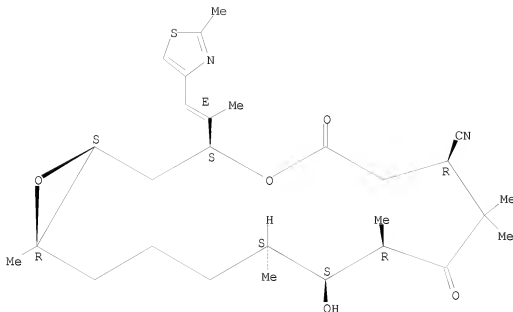


RN 476623-92-4 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-7-carbonitrile,
11-hydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7R,10R,11S,12S,16R)- (CA INDEX
NAME)

Absolute stereochemistry.

Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 44 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:757513 HCAPLUS
DOCUMENT NUMBER: 139:276754
TITLE: Preparation of C12-cyano epothilone
derivatives with antitumor activity
INVENTOR(S): Vite, Gregory D.; Regueiro-Ren, Alicia
PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

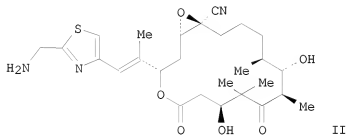
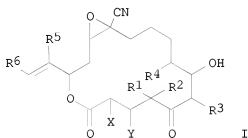
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077903	A1	20030925	WO 2003-US7576	20030311 <--
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2003218107	A1	20030929	AU 2003-218107	20030311 <--
US 20030186965	A1	20031002	US 2003-386059	20030311 <--
US 7211593	B2	20070501		

PRIORITY APPLN. INFO.: US 2002-363703P P 20020312

OTHER SOURCE(S): MARPAT 139:276754 WO 2003-US7576 W 20030311

GI



AB Epothilone derivs. of formula I [R1-R5 = H, alkyl; R6 = H, alkyl, aryl, cycloalkyl, heterocyclo; X = H; Y = OH; XY = bond] are prepared. Also included are therapeutic compns. containing the compds. of formula I as active ingredients, alone or in combination with other therapeutic agents useful in the treatment of cancer or other proliferative diseases. Thus, II was prepared in several steps from epothilone A. The EC0.01 of the prepared compds. was 0.01 to 1000 μ M in in vitro tubulin polymerization assay.

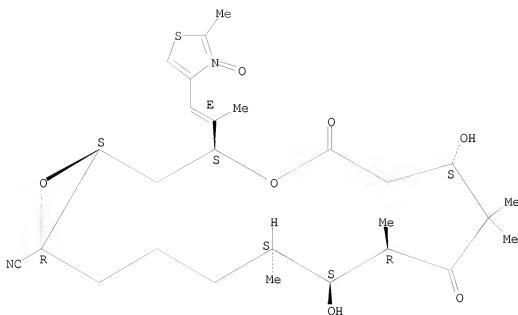
IT 604772-08-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of C12-cyano epothilone derivs. with antitumor activity)

RN 604772-08-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-16-carbonitrile, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 476623-94-6P

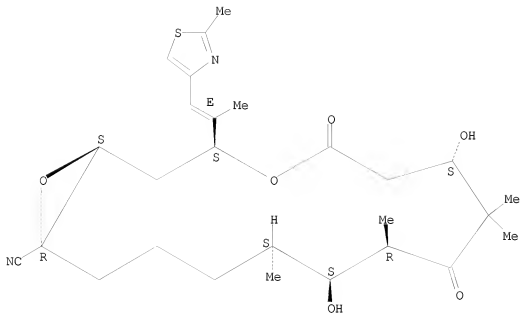
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of C12-cyano epothilone derivs. with antitumor activity)

RN 476623-94-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-16-carbonitrile, 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-5,9-dioxo-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
Double bond geometry as shown.



IT 152044-53-6, Epothilone A

RL: RCT (Reactant); RACT (Reactant or reagent)

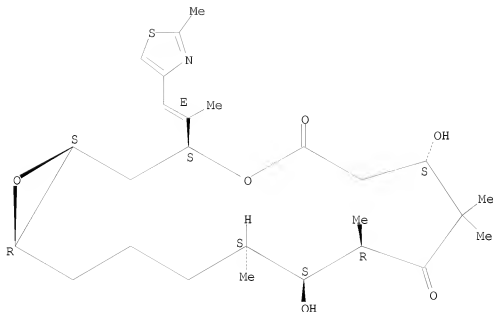
(preparation of C12-cyano epothilone derivs. with antitumor activity)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 45 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:757486 HCAPLUS

DOCUMENT NUMBER: 139:277113

TITLE: Synthesis of atorvastatin and epothilone
synthons via 2-deoxyribose-5-phosphate
aldolase-catalyzed asymmetric aldol condensation of
aldehydes

INVENTOR(S): Wong, Chi-huey; Liu, Junjie; De Santis, Grace; Burk,
Mark

PATENT ASSIGNEE(S): The Scripps Research Institute, USA; Diversa
Corporation

SOURCE: PCT Int. Appl., 63 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003077868	A2	20030925	WO 2003-US7982	20030314 <--
WO 2003077868	A3	20040401		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,
GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR,
LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH,
PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ,

UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
 KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,
 FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR,
 BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

CA 2479247	A1	20030925	CA 2003-2479247	20030314 <--
AU 2003225810	A1	20030929	AU 2003-225810	20030314 <--
US 20030232416	A1	20031218	US 2003-390544	20030314 <--
EP 1485498	A2	20041215	EP 2003-744689	20030314 <--

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK

JP 2005520510	T	20050714	JP 2003-575922	20030314
US 20070015260	A1	20070118	US 2006-481653	20060705 <--

PRIORITY APPLN. INFO.:
 US 2002-364641P P 20020314
 US 2003-390544 B3 20030314
 WO 2003-US7982 W 20030314

OTHER SOURCE(S): CASREACT 139:277113; MARPAT 139:277113

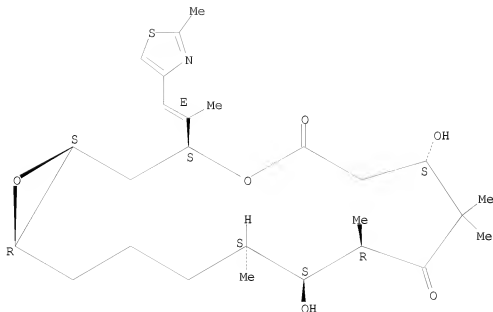
AB The present invention is based on the discovery that
 2-deoxyribose-5-phosphate aldolase (DERA, EC 4.1.2.4) and variants thereof
 can be used to catalyze sequential asym. aldol reactions between a wide
 variety of donor and acceptor aldehydes. The reaction products typically
 contain at least two new stereogenic centers and can be produced in
 enantiomerically pure form. As such, DERA catalyzed asym. aldol chemical can
 be exploited to produce synthons for the synthesis of a variety of
 bioactive mols., e.g. epothilone A.

IT 152044-53-6P
 RL: BPN (Biosynthetic preparation); SPN (Synthetic preparation); BIOL
 (Biological study); PREP (Preparation)
 (synthesis of atorvastatin and epothilone synthons via
 2-deoxyribose-5-phosphate aldolase-catalyzed asym. aldol condensation
 of aldehydes)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
(2 CITINGS)

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 46 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:737763 HCAPLUS

DOCUMENT NUMBER: 139:261091

TITLE: Preparation of laulimalide and epothilone
derivatives as microtubule stabilizing compounds

INVENTOR(S): Ghosh, Arun K.

PATENT ASSIGNEE(S): The Board of Trustees of the University of Illinois,
USA

SOURCE: PCT Int. Appl., 118 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003076445	A2	20030918	WO 2003-US6457	20030304 <--
WO 2003076445	A3	20040108		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES,

	FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2478087	A1 20030918	CA 2003-2478087 20030304 <--
AU 2003216491	A1 20030922	AU 2003-216491 20030304 <--
EP 1483267	A2 20041208	EP 2003-744154 20030304 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK		
JP 2005521694	T 20050721	JP 2003-574661 20030304
US 20030203929	A1 20031030	US 2003-382261 20030305 <--
US 7109235	B2 20060919	
MX 2004008630	A 20041206	MX 2004-8630 20040906 <--
PRIORITY APPLN. INFO.:		
	US 2002-362499P	P 20020307
	WO 2003-US6457	W 20030304
OTHER SOURCE(S): MARPAT 139:261091		
GI		

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Laulimalide and epothilone derivs., e.g., I [R1 = H, ORa, C1-3-alkyl; R2 = C3-7-heterocyclolalkyl, C3-7-heterocyclolalkenyl, C3-7-cyclolalkyl, C3-7-cyclolalkenyl, C3-7-alkylene-ORa, ORa, C3-7-cyclolalkylene-N(Ra)2, N(Ra)2, aryl, heteroaryl; R3 = heteroaryl, aryl, C3-7-heterocyclolalkyl, C3-7-heterocyclolalkenyl; R4 = C1-4-alkyl, ORa, C3-7-cycloalkyl, C3-7-heterocyclolalkyl, aryl, heteroaryl; X, Y = CH2, O, NRA, S; Ra = H, C1-4-alkyl, C2-4-alkenyl, C2-4-alkynyl, heteroaryl, aryl; Z = (CH2)n; n = 0, 1], II, III, IV, V, VI and a pharmaceutically acceptable salt, solvate or prodrug thereof, useful as microtubule stabilizing agents, and in the treatment of cancers are disclosed. Methods of making the compds. and using the compds. as therapeutic agents in treating cancers also are disclosed. Thus, trans-desoxyaulimalide I [R1 = β -OH, R2 = R', R4 = Me, X = Y = O, Z = CH2] was prepared from (E)-R'CH:CHCH2CH[OH-(S)](CH2)2SO2Ph and {6-(R)-Me3CSiMe2O(CH2)2}-3,6-dihydropyran-2R-yl]CH2CH[Me-(S)]CH2C:(CH2)CH2CH[OCH2OMe-(S)]CHO in 12 steps. Trans-desoxyaulimalide was tested for cytotoxicity [IC50 = 360 nM vs. human MCF-7 breast cancer cells].

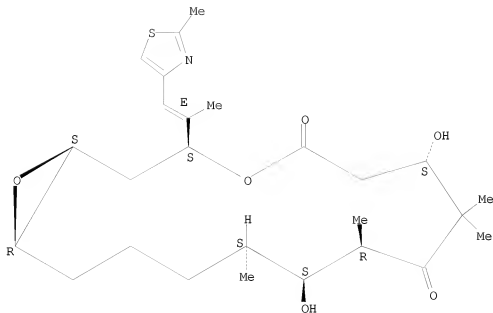
IT 152044-53-6DP, Epothilone A, analogs.
152044-54-7DP, Epothilone B, analogs.
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of laulimalide and epothilone derivs. as microtubule stabilizing compds. with antitumor activity)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

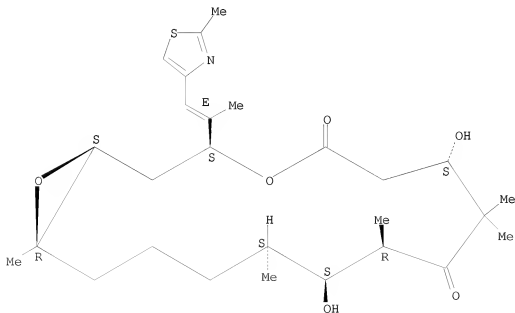


RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

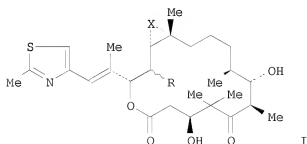


OS.CITING REF COUNT: 3 THERE ARE 3 CAPLUS RECORDS THAT CITE THIS RECORD
(3 CITINGS)
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 47 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:719479 HCAPLUS
DOCUMENT NUMBER: 139:245815
TITLE: Preparation of derivatives of epothilones B
and D for therapeutic use as antitumor agents
INVENTOR(S): Taylor, Richard E.; Chen, Yue
PATENT ASSIGNEE(S): University of Notre Dame, USA
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003074521	A1	20030912	WO 2003-US6113	20030228 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003212457	A1	20030916	AU 2003-212457	20030228 <--
US 20030176473	A1	20030918	US 2003-375100	20030228 <--
US 6900331	B2	20050531		
PRIORITY APPLN. INFO.:			US 2002-360853P	P 20020301
			WO 2003-US6113	W 20030228

GI



AB (14R)- and (14S)-14-methylepothilone B I (X = O, R = α -Me, β -Me, resp.), (14S)-, and (14R)-14-methylepothilone D I (X = Z-bond, R = α -Me, β -Me, resp.) were synthesized for use in pharmaceutical compns. for treatment of cancer. The prepared

epothilones were assayed for cytotoxicity against cancer cell lines, such as human breast carcinoma MCF-7, multi-drug resistant breast carcinoma NCI/ADR, non-small cell lung carcinoma NCI-H460 and glioma SF-268.

IT 491611-01-9P, (14R)-14-Methylepothilone B 491611-02-0P

, (14S)-C14-Methylepothilone B

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

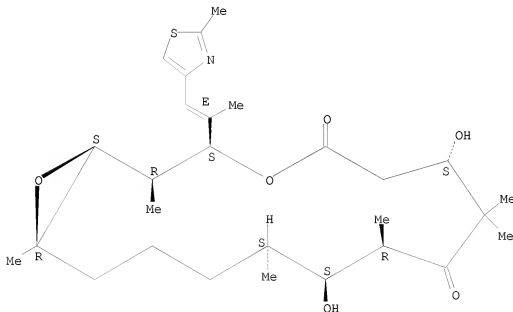
(preparation of derivs. of epothilones B and D for therapeutic use as anticancer agents)

RN 491611-01-9 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-2,8,8,10,12,16-hexamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,2R,3S,7S,10R,11S,12S,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.

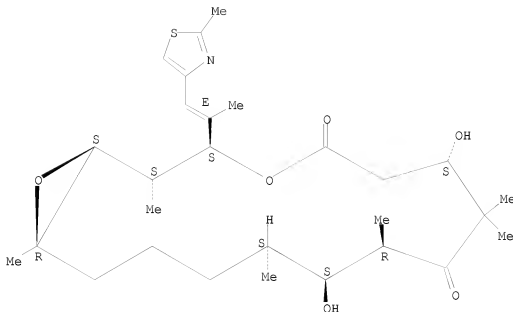


RN 491611-02-0 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-2,8,8,10,12,16-hexamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,2S,3S,7S,10R,11S,12S,16R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 48 OF 151 HCAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2003:697017 HCAPLUS

DOCUMENT NUMBER: 139:229340

TITLE: An oxygen-limited cultivation method for producing polyketides by myxobacteria with polyketide congener distribution modulation

INVENTOR(S): Licari, Peter J.; Julien, Bryan; Frykman, Scott; Tsuruta, Hiroko

PATENT ASSIGNEE(S): Kosan Biosciences, Inc., USA

SOURCE: PCT Int. Appl., 36 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 6

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003072730	A2	20030904	WO 2003-US5487	20030225 <--
WO 2003072730	A3	20040603		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF,				

BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

AU 2003223190	A1	20030909	AU 2003-223190	20030225 <--
US 20040014183	A1	20040122	US 2003-376612	20030225 <--
US 7220560	B2	20070522		
EP 1485462	A2	20041215	EP 2003-719319	20030225 <--
EP 1485462	B1	20071212		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
JP 2005518210	T	20050623	JP 2003-571418	20030225
JP 4280810	B2	20090617		
CN 1639319	A	20050713	CN 2003-804528	20030225
AT 380861	T	20071215	AT 2003-719319	20030225
NZ 535515	A	20071221	NZ 2003-535515	20030225
ES 2295574	T3	20080416	ES 2003-719319	20030225
IN 2004KN01185	A	20060512	IN 2004-KN1185	20040816
PRIORITY APPLN. INFO.:			US 2002-359821P	P 20020225
			US 2000-724878	A2 20001128
			WO 2003-US5487	W 20030225

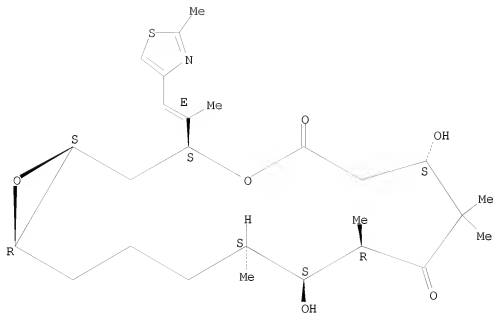
AB The present invention provides a generalized oxygen-limited cultivation method for myxobacterial strains engineered to heterologously express polyketide synthase (PKS) gene clusters under various oxygen tension conditions, modulating the polyketide congener distribution.

IT 152044-53-6P, Epothilone A 152044-54-7P,
Epothilone B
RL: BMF (Bioindustrial manufacture); BPN (Biosynthetic preparation); BIOL (Biological study); PREP (Preparation)
(oxygen-limited cultivation method for producing polyketides by recombinant myxobacteria with polyketide congener distribution modulation)

RN 152044-53-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
Double bond geometry as shown.

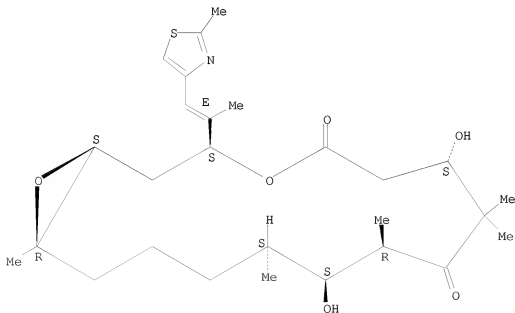


RN 152044-54-7 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

Double bond geometry as shown.



OS.CITING REF COUNT: 1 THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
(1 CITINGS)

L8 ANSWER 49 OF 151 HCAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:693140 HCAPLUS

DOCUMENT NUMBER: 139:191465

TITLE: Use of epothilones in the treatment of brain diseases associated with proliferative processes
INVENTOR(S): Lichtner, Rosemarie; Rotgeri, Andrea; Buchmann, Bernd; Hoffmann, Karin; Klar, Ulrich; Schwede, Wolfgang; Skuballa, Werner

PATENT ASSIGNEE(S): Schering Aktiengesellschaft, Germany

SOURCE: Eur. Pat. Appl., 27 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1340498	A1	20030903	EP 2002-4745	20020301 <--
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CA 2477403	A1	20030912	CA 2003-2477403	20030228 <--
WO 2003074053	A1	20030912	WO 2003-EP2085	20030228 <--
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2003215618	A1	20030916	AU 2003-215618	20030228 <--
AU 2003215618	B2	20090604		
US 20040019088	A1	20040129	US 2003-375043	20030228 <--
EP 1480643	A1	20041201	EP 2003-743360	20030228 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003008154	A	20050104	BR 2003-8154	20030228
CN 1649587	A	20050803	CN 2003-809761	20030228
JP 2005525360	T	20050825	JP 2003-572570	20030228
NZ 546617	A	20071221	NZ 2003-546617	20030228
RU 2351330	C2	20090410	RU 2004-129325	20030228
MX 2004008450	A	20050713	MX 2004-8450	20040901
NO 2004004175	A	20041201	NO 2004-4175	20040930 <--
ZA 2004007905	A	20060426	ZA 2004-7905	20040930
PRIORITY APPLN. INFO.:			EP 2002-4745	A 20020301
			US 2002-361062P	P 20020301
			WO 2003-EP2085	W 20030228

OTHER SOURCE(S): MARPAT 139:191465

AB The invention provides the use of an epothilone, which shows an average distribution coefficient between plasma and brain of 0.3-1.5 in the mouse

i.v. bolus injection assay, for the preparation of a medicament for the treatment of a brain disease associated with proliferative processes.

IT 585569-58-0 585569-62-6

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

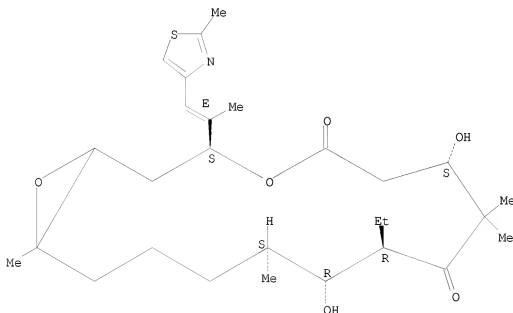
(epothilones for treatment of brain diseases associated with proliferative processes)

RN 585569-58-0 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
10-ethyl-7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-, (3S,7S,10R,11R,12S)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.

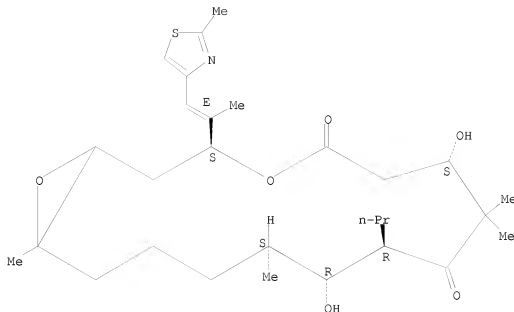


RN 585569-62-6 HCAPLUS

CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
7,11-dihydroxy-8,8,12,16-tetramethyl-3-[(1E)-1-methyl-2-(2-methyl-4-thiazolyl)ethenyl]-10-propyl-, (3S,7S,10R,11R,12S)- (CA INDEX NAME)

Absolute stereochemistry.

Double bond geometry as shown.



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 50 OF 151 HCAPLUS COPYRIGHT 2009 ACS ON STN

ACCESSION NUMBER: 2003:678602 HCAPLUS

DOCUMENT NUMBER: 139:197297

TITLE: Process for the preparation of 21-amino epothilone derivatives

INVENTOR(S): Favreau, Denis; Kant, Joydeep; Levesque, Kathia; Wang, Shaopeng; Guo, Zhengrong; James, Brian L.

PATENT ASSIGNEE(S): Bristol-Myers Squibb Company, USA

SOURCE: PCT Int. Appl., 35 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

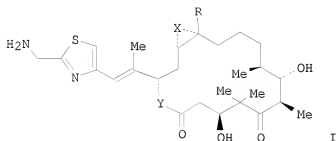
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003070170	A2	20030828	WO 2003-US4426	20030213 <--
WO 2003070170	A3	20040708		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			

AU 2003211047	A1 20030909	AU 2003-211047	20030213 <--
US 20030187039	A1 20031002	US 2003-365892	20030213 <--
US 6930187	B2 20050816		

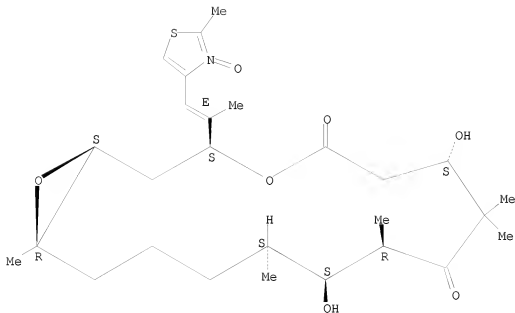
PRIORITY APPLN. INFO.: US 2002-357554P P 20020215
 WO 2003-US4426 W 20030213

OTHER SOURCE(S): CASREACT 139:197297; MARPAT 139:197297
 GI



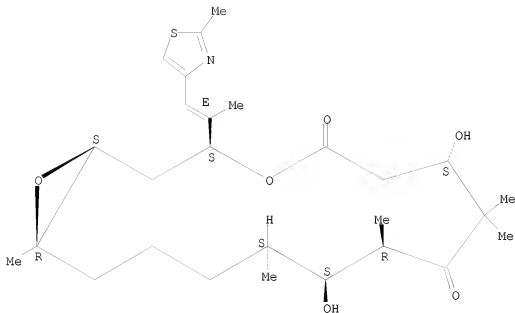
- AB The present invention provides an improved one-pot conversion process for the synthesis of 21-amino epothilone derivs., such as I [R = H, alkyl; X = bond, O, S, CH₂, NR₁; Y = O, NH; R₁ = H, alkyl, aryl, COR₂, CO₂R₂, CONHR₂, CONR₂R₃, SO₂R₃, SO₂NHR₂, SO₂NR₂R₃; R₂, R₃ = alkyl, aryl, arylalkyl, heteroaryl; R₂R₃ = N, heterocycle], from 21-hydroxy epothilones. Thus, mCPBA oxidation of epothilone B provided epothilone B N-oxide, which on treatment with trifluoroacetic anhydride, lutidine and subsequently with ammonium hydroxide, afforded epothilone F (II). II was reacted with diphenylphosphoryl azide to yield 21-azido epothilone B (III), which on reaction with trimethylphosphine and ammonium hydroxide yielded 21-amino epothilone B I (R = Me; X, Y = O).
- IT 219990-27-9P, Epothilone B N-oxide
 RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 21-amino epothilone derivs.)
- RN 219990-27-9 HCAPLUS
- CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-3-oxido-4-thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry.
 Double bond geometry as shown.



IT 152044-54-7, Epothilone B
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of 21-amino epothilone derivs.)
 RN 152044-54-7 HCAPLUS
 CN 4,17-Dioxabicyclo[14.1.0]heptadecane-5,9-dione,
 7,11-dihydroxy-8,8,10,12,16-pentamethyl-3-[(1E)-1-methyl-2-(2-methyl-4-
 thiazolyl)ethenyl]-, (1S,3S,7S,10R,11S,12S,16R)- (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).
 Double bond geometry as shown.



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OS.CITING REF COUNT:      1      THERE ARE 1 CAPLUS RECORDS THAT CITE THIS RECORD
                              (1 CITINGS)
REFERENCE COUNT:          5      THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS
                              RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT
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$$\Rightarrow \log y$$

COST IN U.S. DOLLARS

SINCE FILE	TOTAL
ENTRY	SESSION
146.94	333.04

FULL ESTIMATED COST

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE	TOTAL
ENTRY	SESSION
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CA SUBSCRIBER PRICE

STN INTERNATIONAL LOGOFF AT 15:34:19 ON 07 AUG 2009